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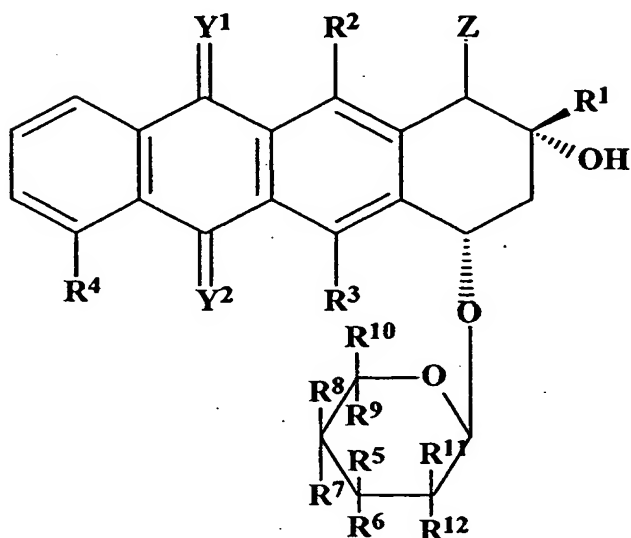
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CLAIMS:

1. A substituted anthracycline having the formula:



wherein, R¹ denotes any suitable group or combination of groups that form but are not limited to a nucleic acid intercalator or binding compound; a topoisomerase inhibitor, including but not limited to, an alkyl chain; a (-COCH₂R¹³) group; or a C(OH)-CH₂R¹³;

wherein, R¹³ is a hydrogen (-H) group or a hydroxyl group (-OH); a methoxy group (-OCH₃); an alkoxy group having 1-20 carbon atoms; an alkyl group having 1-20 carbon atoms; an aryl group having 1-20 carbon atoms; a fatty acyl group having the general structure -O-CO(CH₂)_nCH₃, wherein n = an integer from 1 to about 20; or a fatty acyl group having the general structure -O-CO(CH₂)_l(CH=CH)_m(CH₂)_nCH₃, wherein l is an integer between 1 to 3, m is an integer between 1 and about 6, and n is an integer between 1 to about 9; or a chain(R) such as -OCO-(CH₂)_n-CH₂NH₂; or OCO-(CH₂)_n-CO₂H and its salts.

each of R² and R³ is, independently of the other, a hydrogen (-H), a hydroxyl group (-OH); a methoxy group (-OCH₃);

R^4 is a hydrogen (-H) group; a methoxy group (-OCH₃); a hydroxyl group (-OH); or a halide;

5 each of Y^1 and Y^2 is, independently of the other, a double bonded oxygen, sulphur, or nitrogen atom;

Z is a -H; -OH; a -CO₂H group; or a -CO₂R group;

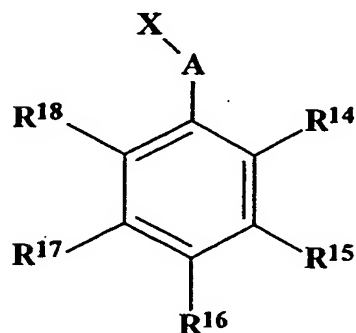
10 R^7 , R^8 , are, independently, -H; -OH; a halide; -OR¹⁹; -SH; -SR¹⁹; -NH₂; -NHR¹⁹; -N(R¹⁹)₂; -CH₃; and R^7 can additionally be a saccharide; wherein R^{19} is an alkyl chain; an alkylating moiety; a cycloalkyl chain; a cyclic ring; or a hydrogen;

R^9 can be -H; -CH₃; alkyl; aryl; CH₂OH, CH₂F;

15 R^{10} , R^{11} and R^{12} are, independently, -H; -OH; a halide; -OR; -SH; -SR; -NH₂; -NHR; -N(R)₂; -CH₃;

one of R^5 and R^6 is a -H;

20 one of R^5 and R^6 is a X-alkyl-aromatic-ring (AAR) substituent such as -XAAR, wherein, A is an alkyl group and wherein, AR is an substituted phenyl ring; or a substituted five-member ring; or a heteroatomic five-member ring; or a heteroatomic six-member ring such as a pyridine ring; of the form;



25 ; wherein, R^{14} - R^{18} are independently a (-H) group; a hydroxyl group (-OH); a methoxy group (-OCH₃); a nitro group (-NO₂), an amine group (-NH₂), a halide; an

alkoxy group having 1-20 carbon atoms; an alkyl group having 1-20 carbon atoms; an aryl group having 1-20 carbon atoms; an alkyl-amino group; an alkyl-thio group; a cyano group (CN, SCN); an $-CO_2H$ group; an $-CO_2R$ group; and

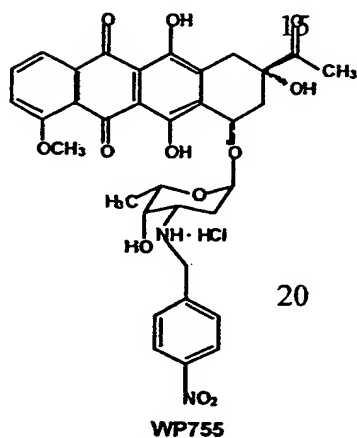
the aromatic ring may be disubstituted, trisubstituted, tetrasubstituted or pentasubstituted; and

X is a $-O$, $-N$ or $-S$, or $-SO$, or $-SO_2$ group; and

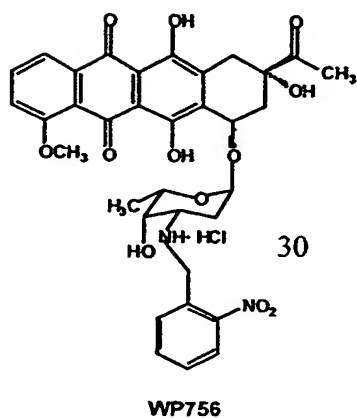
A is $(CH_2)_n$ where $n = 0-10$;

wherein, if R^5 is a XAAR substituent R^6 is not and if R^6 is a XAAR substituent R^5 is not.

2. The compound of claim 1 comprising the structure:

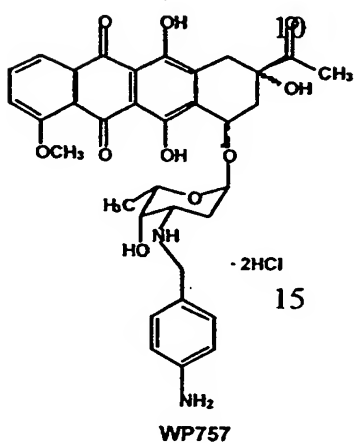


3. The compound of claim 1 comprising the structure:

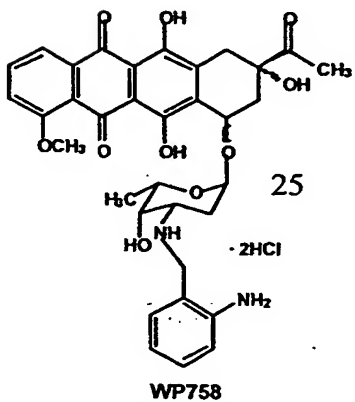


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4. The compound of claim 1 comprising the structure:

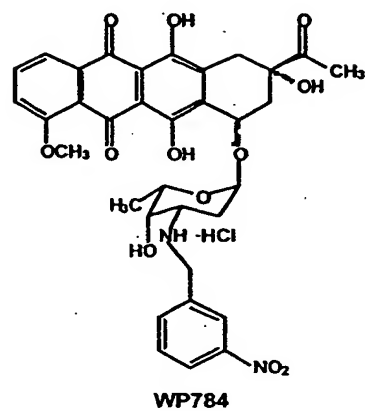


- 20 5. The compound of claim 1 comprising the structure:



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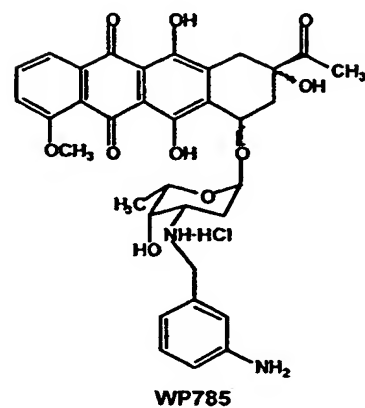
6. The compound of claim 1 comprising the structure:



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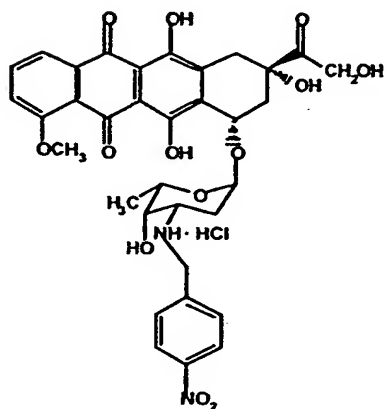
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7. The compound of claim 1 comprising the structure:



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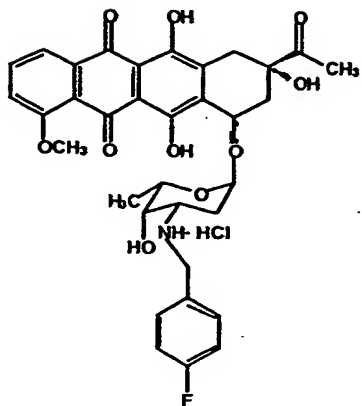
8. The compound of claim 1 comprising the structure:



WP765

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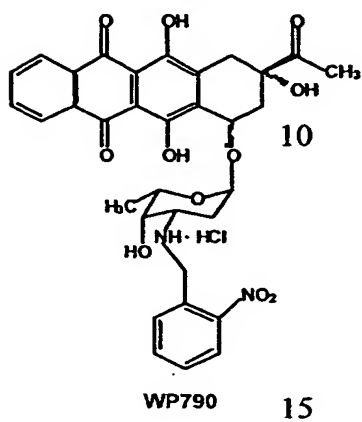
- 15 9. The compound of claim 1 comprising the structure:



WP786

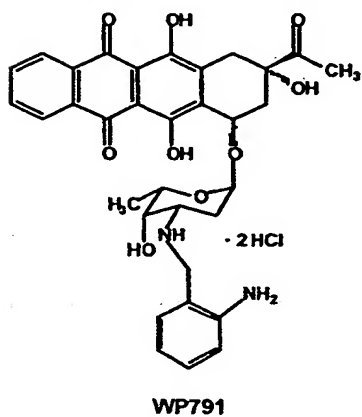
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10. The compound of claim 1 comprising the structure:



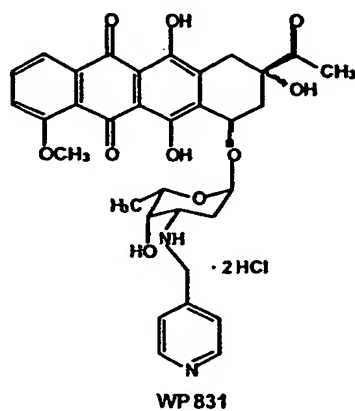
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11. The compound of claim 1 comprising the structure:



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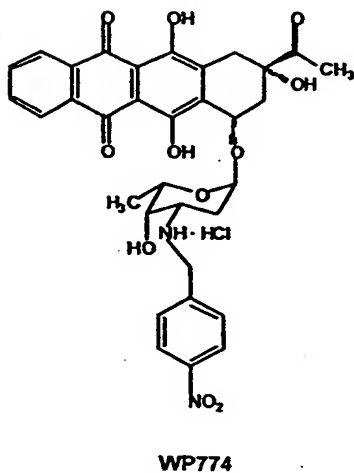
12. The compound of claim 1 comprising the structure:



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13. The compound of claim 1 comprising the structure:

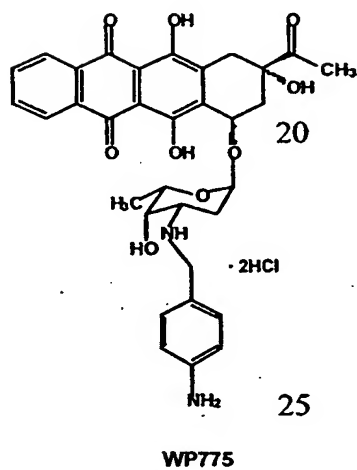


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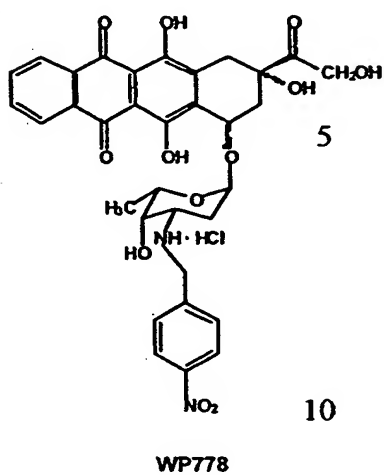
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14. The compound of claim 1 comprising the structure:



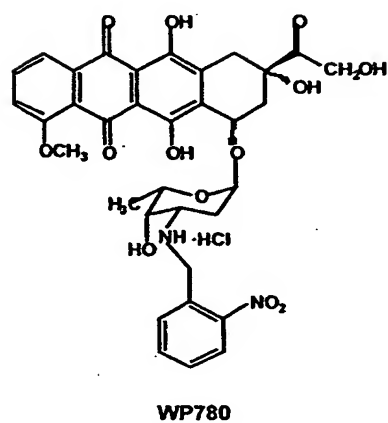
15. The compound of claim 1 comprising the structure:



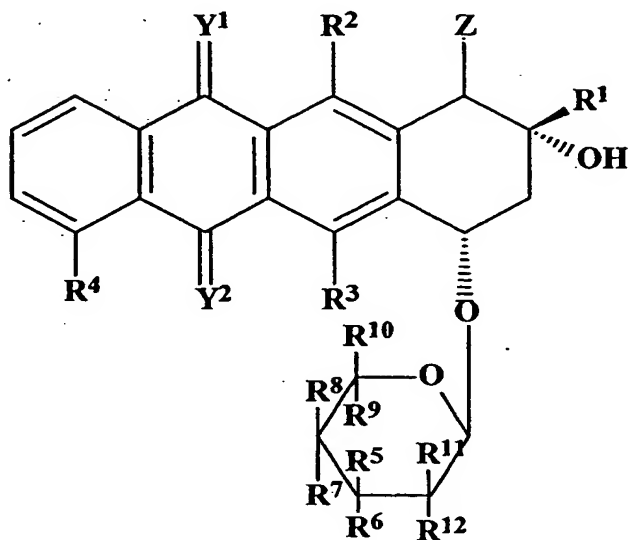
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16. The compound of claim 1 comprising the structure:

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17: A substituted anthracycline having the formula:



wherein, R^1 denotes any suitable group or combination of groups that form but are not limited to a nucleic acid intercalator or binding compound; a topoisomerase inhibitor, including but not limited to, an alkyl chain; a $(-COCH_2R^{13})$ group; or a $C(OH)CH_2R^{13}$;

wherein, R^{13} is a hydrogen ($-H$) group or a hydroxyl group ($-OH$); a methoxy group ($-OCH_3$); an alkoxy group having 1-20 carbon atoms; an alkyl group having 1-20 carbon atoms; an aryl group having 1-20 carbon atoms; a fatty acyl group having the general structure $-O-CO(CH_2)_nCH_3$, wherein n = an integer from 1 to about 20; or a fatty acyl group having the general structure $-O-CO(CH_2)_l(CH=CH)_m(CH_2)_nCH_3$, wherein l is an integer between 1 to 3, m is an integer between 1 and about 6, and n is an integer between 1 to about 9; or a chain(R) such as $-OCO-(CH_2)_n-CH_2NH_2$; or $OCO-(CH_2)_n-CO_2H$ and its salts.

each of R^2 and R^3 is, independently of the other, a hydrogen ($-H$), a hydroxyl group ($-OH$); a methoxy group ($-OCH_3$);

R^4 is a hydrogen ($-H$) group; a methoxy group ($-OCH_3$); a hydroxyl group ($-OH$); or a halide;

each of Y^1 and Y^2 is, independently of the other, a double bonded oxygen, sulphur, or nitrogen atom;

Z is a -H; -OH; a -CO₂H group; or a -CO₂R group;

5

R^5 , R^6 , are, independently, -H; -OH; a halide; -OR¹⁹; -SH; -SR¹⁹; -NH₂; -NHR¹⁹; -N(R¹⁹)₂; -CH₃; and R can additionally be a an alkylating moiety; wherein R¹⁹ is an alkyl chain; an alkylating moiety; a cycloalkyl chain; a cyclic ring; a hydrogen;

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R^9 can be -H; -CH₃; alkyl; aryl; CH₂OH, CH₂F;

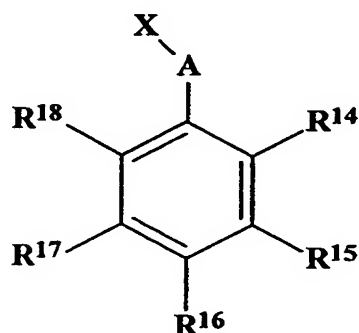
R^{10} , R^{11} and R^{12} are, independently, -H; -OH; a halide; -OR; -SH; -SR; -NH₂; -NHR; -N(R)₂; -CH₃;

15

one of R^7 and R^8 is a -H;

one of R^7 and R^8 is a X-alkyl aromatic-ring (AAR) substituent such as -XAAR, wherein, A is an alkyl group and wherein, AR is an unsubstituted phenyl ring; or a substituted phenyl ring; or a substituted five-member ring such as a pyridine ring; or a heteroatomic five-member ring, of the general form;

20



; wherein, R^{14} - R^{18} are independently a (-H) group; a

hydroxyl group (-OH); a methoxy group (-OCH₃); a nitro group (-NO₂), an amine group (-NH₂), a halide; an alkoxy group having 1-20 carbon atoms; an alkyl group having 1-20 carbon atoms; an aryl group having 1-20 carbon atoms; an alkyl-amino

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group; an alkyl-thio group; a cyano group (CN, SCN); an $-\text{CO}_2\text{H}$ group; an $-\text{CO}_2\text{R}$ group; and

the aromatic ring may be disubstituted, trisubstituted, tetrasubstituted or pentasubstituted; and

5 X is a $-\text{O}$, $-\text{N}$ or $-\text{S}$, or $-\text{SO}$, or $-\text{SO}_2$ group; and

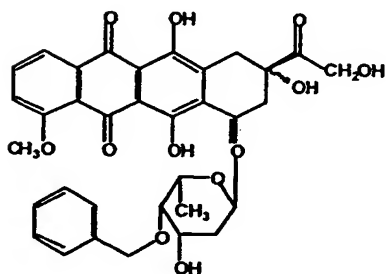
A is $(\text{CH}_2)_n$ where $n = 0-10$;

wherein if R^7 is a XAAR substituent R^8 is not and if R^8 is a XAAR substituent R^7 is not.

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18. The compound of claim 17 comprising the structure:

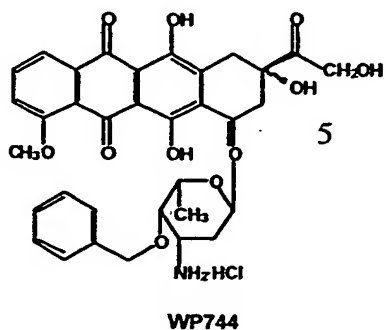
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WP727

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19. The compound of claim 17 comprising the structure:

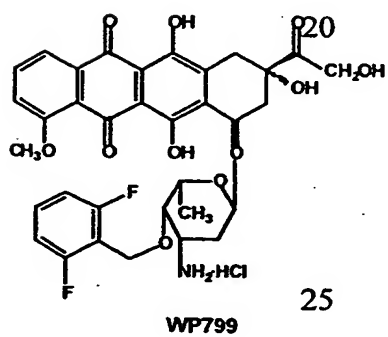


WP744

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20. The compound of claim 17 comprising the structure:

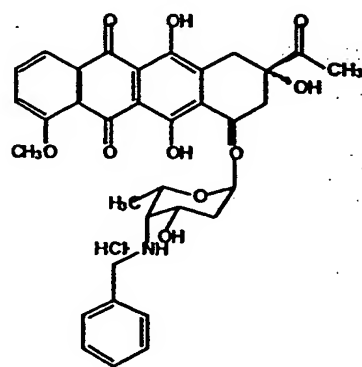


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WP799

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21. The compound of claim 17 comprising the structure:

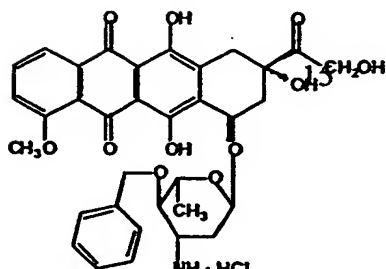


WP787

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22. The compound of claim 17 comprising the structure:

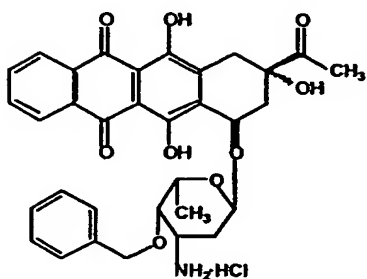


WP750

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23. The compound of claim 17 comprising the structure:

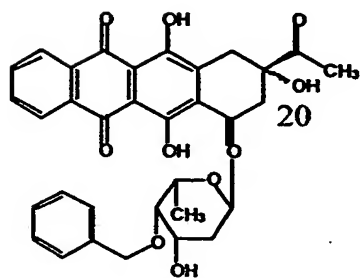
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WP783

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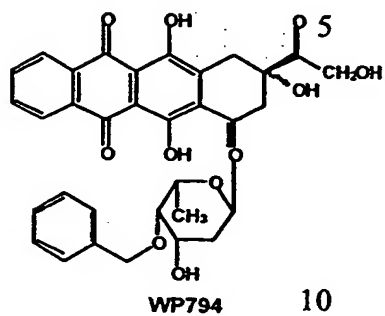
24. The compound of claim 17 comprising the structure:



WP793

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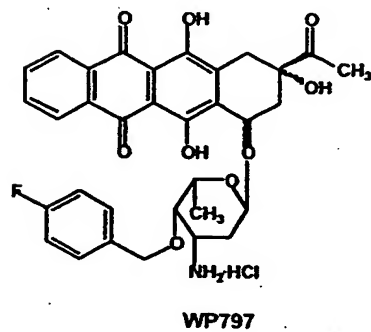
25. The compound of claim 17 comprising the structure:



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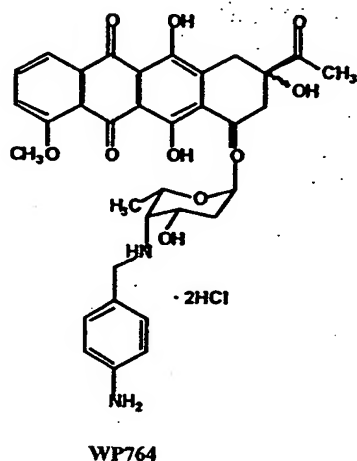
26. The compound of claim 17 comprising the structure:

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27. The compound of claim 17 comprising the structure:



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28. The method for the synthesis of 4'-O-benzylated sugars comprising glycals as starting material for the preparation of 3-azido and 3-O-blocked glycosyl donors benzylated at C-4.

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29. The method for synthesis of 4-O-alkylated glycals blocked and unblocked at C-3 comprising of direct and selective alkylation by an alkylating agent at C-4 of acylated glycals exemplified but not limited to 3,4-di-O-acetyl-L-rhamnal, 3,4-di-O-acetyl-L-fucal, 3,4,6-tri-O-acetyl-D-glucal and 3,4,6-tri-O-acetyl-D-galactal.

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30. The method of claim 29, where said alkylating agent is benzyl chloride.

31. The method of claim 29, where said alkylating agent is benzyl bromide.

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32. The method for the synthesis of amine containing anthracyclines comprising using a substituted sugar azide, wherein the azido substitution can be at the 1', 2', 3', 4' or 5' position on the sugar, said azide serving as a masked and neutral form of amine substituent, allowing for the subsequent coupling reaction and selectivity.

33. The method of claim 32 wherein the amine containing anthracycline is a 14-hydroxy analog of anthracyclines.

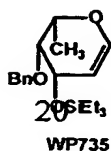
34. The method of claim 32 wherein the amine containing anthracycline is an analog of doxorubicin.

35. The method of claim 32 wherein the amine containing anthracycline is an analog of daunorubicin.

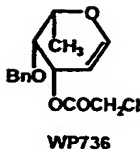
36. The method of claim 32 wherein the amine containing anthracycline is WP744.

37. The method of claim 32 wherein the amine containing anthracycline is WP769.

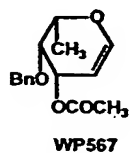
38. A sugar comprising the structure:



39. A sugar comprising the structure:

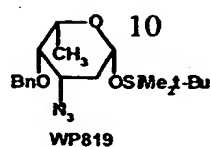


40. A sugar comprising the structure:

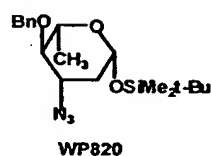


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41. A sugar comprising the structure:

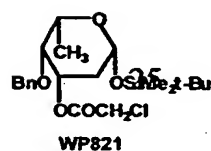


15 42. A sugar comprising the structure:

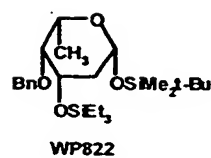


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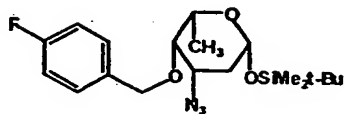
43. A sugar comprising the structure:



30 44. A sugar comprising the structure:



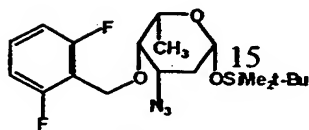
- 5 45. A sugar comprising the structure:



WP823

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46. A sugar comprising the structure:

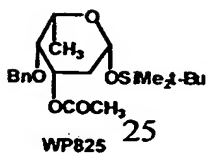


WP824

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47. A sugar comprising the structure:



WP825

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